~~10/408,276~~ 10/724,272

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TERMINAL (ENTER 1, 2, 3, OR ?):2

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NEWS	1		Web Page URLs for STN Seminar Schedule - N. America
NEWS	2		"Ask CAS" for self-help around the clock
NEWS	3	FEB 25	CA/CAPLUS - Russian Agency for Patents and Trademarks (ROSPATENT) added to list of core patent offices covered
NEWS	4	FEB 28	PATDPAFULL - New display fields provide for legal status data from INPADOC
NEWS	5	FEB 28	BABS - Current-awareness alerts (SDIs) available
NEWS	6	FEB 28	MEDLINE/LMEDLINE reloaded
NEWS	7	MAR 02	GBFULL: New full-text patent database on STN
NEWS	8	MAR 03	REGISTRY/ZREGISTRY - Sequence annotations enhanced
NEWS	9	MAR 03	MEDLINE file segment of TOXCENTER reloaded
NEWS	10	MAR 22	KOREAPAT now updated monthly; patent information enhanced
NEWS	11	MAR 22	Original IDE display format returns to REGISTRY/ZREGISTRY
NEWS	12	MAR 22	PATDPASPC - New patent database available
NEWS	13	MAR 22	REGISTRY/ZREGISTRY enhanced with experimental property tags
NEWS	14	APR 04	EPFULL enhanced with additional patent information and new fields
NEWS	15	APR 04	EMBASE - Database reloaded and enhanced
NEWS	16	APR 18	New CAS Information Use Policies available online
NEWS	17	APR 25	Patent searching, including current-awareness alerts (SDIs), based on application date in CA/CAplus and USPATFULL/USPAT2 may be affected by a change in filing date for U.S. applications.
NEWS	18	APR 28	Improved searching of U.S. Patent Classifications for U.S. patent records in CA/CAplus
NEWS	19	MAY 23	GBFULL enhanced with patent drawing images
NEWS	20	MAY 23	REGISTRY has been enhanced with source information from CHEMCATS
NEWS	21	MAY 26	STN User Update to be held June 6 and June 7 at the SLA 2005 Annual Conference
NEWS	22	JUN 06	STN Patent Forums to be held in June 2005
NEWS	23	JUN 06	The Analysis Edition of STN Express with Discover! (Version 8.0 for Windows) now available

NEWS EXPRESS JANUARY 10 CURRENT WINDOWS VERSION IS V7.01a, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 10 JANUARY 2005

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* * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 13:13:15 ON 08 JUN 2005

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 13:13:22 ON 08 JUN 2005
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 7 JUN 2005 HIGHEST RN 851848-50-5
DICTIONARY FILE UPDATES: 7 JUN 2005 HIGHEST RN 851848-50-5

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TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

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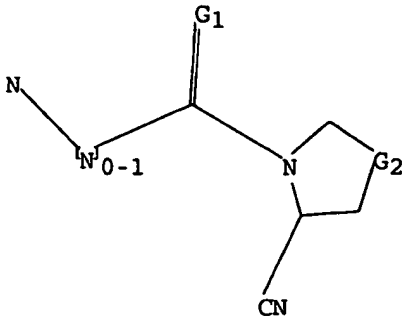
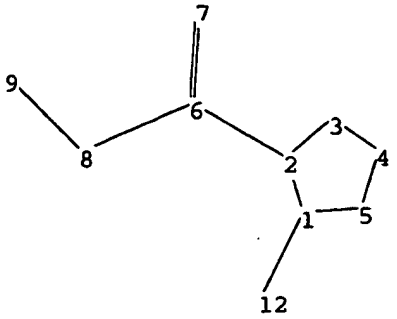
****
* *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
* *
****

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
Uploading C:\Program Files\Stnexp\Queries\104082761.str





chain nodes :
6 7 8 9 12
ring nodes :
1 2 3 4 5
chain bonds :
1-12 2-6 6-7 6-8 8-9
ring bonds :
1-2 1-5 2-3 3-4 4-5
exact/norm bonds :
1-2 1-5 1-12 2-3 2-6 3-4 4-5 6-7 6-8 8-9

G1:O,S,N

G2:C,O,S,N

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:CLASS
12:CLASS

L1 STRUCTURE UPLOADED

=> s l1
SAMPLE SEARCH INITIATED 13:13:39 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 16 TO ITERATE

100.0% PROCESSED 16 ITERATIONS 3 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 80 TO 560
PROJECTED ANSWERS: 3 TO 163

L2 3 SEA SSS SAM L1

=> s l1 ful
FULL SEARCH INITIATED 13:13:48 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 332 TO ITERATE

100.0% PROCESSED 332 ITERATIONS 19 ANSWERS
SEARCH TIME: 00.00.01

L3 19 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	161.33	161.54

FILE 'CAPLUS' ENTERED AT 13:13:55 ON 08 JUN 2005
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 8 Jun 2005 VOL 142 ISS 24
FILE LAST UPDATED: 7 Jun 2005 (20050607/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3
L4 10 L3

=> d l4 ibib hitstr abs 1-10

L4 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:504782 CAPLUS
DOCUMENT NUMBER: 137:78968
TITLE: Preparation of aminocarbonylpyrrolidine derivatives as dipeptidyl peptidase IV inhibitors
INVENTOR(S): Matsuno, Kenji; Ueno, Kimihisa; Iwata, Yasuhiro; Matsumoto, Yuichi; Nakanishi, Satoshi; Takasaki, Kotaro; Kusaka, Hideaki; Nomoto, Yuji; Ogawa, Akira
PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan
SOURCE: PCT Int. Appl., 196 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002051836	A1	20020704	WO 2001-JP11578	20011227

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,

GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS,
LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL,
PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA,
UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

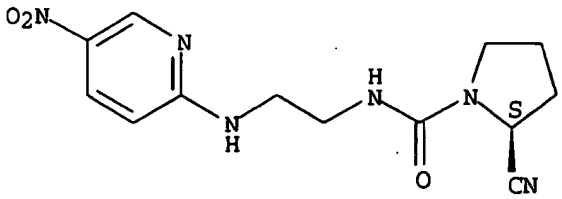
CA 2433090	AA	20020704	CA 2001-2433090		20011227
EP 1354882	A1	20031022	EP 2001-271892		20011227
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
US 2004180925	A1	20040916	US 2003-465919		20031110
PRIORITY APPLN. INFO.:			JP 2000-398441	A	20001227
			JP 2001-261409	A	20010830
			WO 2001-JP11578	W	20011227

OTHER SOURCE(S): MARPAT 137:78968

IT **440101-06-4P 440101-07-5P 440101-09-7P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminocarbonylpyrrolidine derivs. as dipeptidyl peptidase IV inhibitors)

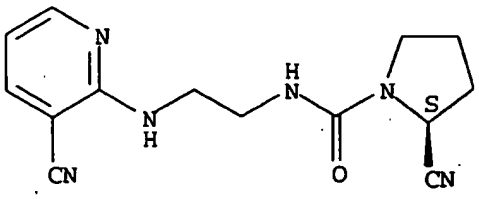
RN 440101-06-4 CAPLUS
CN 1-Pyrrolidinecarboxamide, 2-cyano-N-[2-[(5-nitro-2-pyridinyl)amino]ethyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



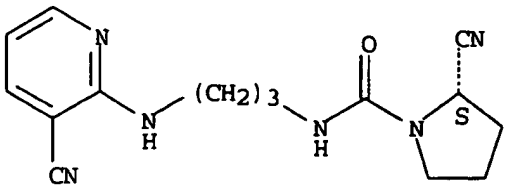
RN 440101-07-5 CAPLUS
CN 1-Pyrrolidinecarboxamide, 2-cyano-N-[2-[(3-cyano-2-pyridinyl)amino]ethyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 440101-09-7 CAPLUS
CN 1-Pyrrolidinecarboxamide, 2-cyano-N-[3-[(3-cyano-2-pyridinyl)amino]propyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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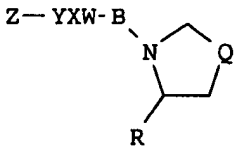

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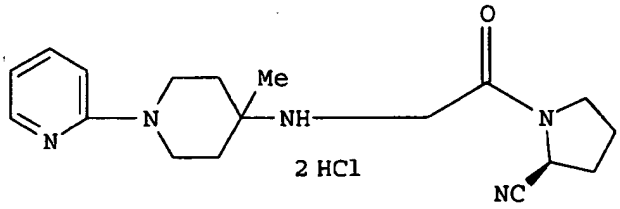


II

AB Title compds. [I; Q = CH2, S; R = H, (S)-CN; B = CH2CO, COCH2, CO; YXW = NHCH2CH2NH, NH(CH2)3NH, NHCH2C(CH3)2NH, 1-(4-methyl-piperidine-4-amino)-yl, 1-(1-aminomethylcyclopropyl)amino, 4-NHCH2C6H4CH2NH, N(CH3)CH2CH2N(CH3), 1,4-piperazinyl, 1-piperidinyl-4-amino, N(CH3)CH2C(CH3)2NH; Z = optionally substituted 1-pyrrolidinyl, optionally substituted 3-thiazolidinyl, optionally substituted 1-oxo-3-thiozolidinyl, etc.] and pharmacol. acceptable salts of title compds. are prepared as dipeptidyl peptidase IV inhibitors. Title compds. are useful as antidiabetics, antiaids agents, antiarteriosclerosis, antihyperglycinemia agents, and as remedies for hyperglycinemia, hyperinsulinism, etc. in combination with related remedies as GI-262570, KAD1229, etc. Thus, the title compound II was prepared and in vivo tested for DPP-IV inhibition with IC50 = 11 nmol/L.

REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2000:133508 CAPLUS

DOCUMENT NUMBER: 132:166514

TITLE: heterocyclic carboxylic acid ureas or carbamates for vision and memory disorders.

INVENTOR(S): Ross, Douglas T.; Sauer, Hansjorg; Hamilton, Gregory

S.; Steiner, Joseph P.
PATENT ASSIGNEE(S): Guilford Pharmaceuticals Inc., USA
SOURCE: PCT Int. Appl., 89 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000009125	A1	20000224	WO 1999-US18234	19990812
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2336152	AA	20000224	CA 1999-2336152	19990812
AU 9954778	A1	20000306	AU 1999-54778	19990812
EP 1107754	A1	20010620	EP 1999-941054	19990812
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002522494	T2	20020723	JP 2000-564628	19990812

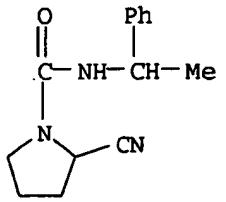
PRIORITY APPLN. INFO.: US 1998-134420 A 19980814
WO 1999-US18234 W 19990812

OTHER SOURCE(S): MARPAT 132:166514

IT **251574-10-4**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(heterocyclic carboxylic acid ureas or carbamates for vision and memory disorders)

RN 251574-10-4 CAPLUS

CN 1-Pyrrolidinecarboxamide, 2-cyano-N-(1-phenylethyl)- (9CI) (CA INDEX NAME)



AB A method for treating a vision disorder, improving vision, treating memory impairment, or enhancing memory performance comprises administration of a urea or carbamate of an N-heterocyclic carboxylic acid or an isostere thereof. Thus, (2S)-1-(N-cyclohexylcarbamoyl)pyrrolidine-2-carboxylic acid was prepared by solution phase couplings. 3-(3-Pyridyl)propyl (2S)-1-(3,3-dimethyl-1,2-dioxopentyl)-2-pyrrolidinecarboxylate (GPI 1046) prevented degeneration of optic nerve axons an myelin following retinal ischemia.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

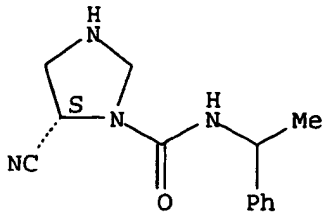
L4 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:133477 CAPLUS
DOCUMENT NUMBER: 132:175848
TITLE: Carboxylic acids and isosteres of heterocyclic ring compounds having multiple heteroatoms for vision and memory disorders
INVENTOR(S): Ross, Douglas T.; Sauer, Hansjorg; Hamilton, Gregory S.; Steiner, Joseph P.
PATENT ASSIGNEE(S): Guilford Pharmaceuticals Inc., USA
SOURCE: PCT Int. Appl., 91 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000009106	A2	20000224	WO 1999-US18238	19990812
WO 2000009106	A3	20001012		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6337340	B1	20020108	US 1998-134476	19980814
CA 2336154	AA	20000224	CA 1999-2336154	19990812
AU 9953970	A1	20000306	AU 1999-53970	19990812
EP 1104300	A2	20010606	EP 1999-939731	19990812
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002522482	T2	20020723	JP 2000-564609	19990812

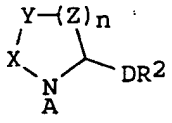
PRIORITY APPLN. INFO.: US 1998-134476 A 19980814
WO 1999-US18238 W 19990812

OTHER SOURCE(S): MARPAT 132:175848
IT **251951-49-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(carboxylic acids and isosteres of heterocyclic ring compds. having multiple heteroatoms for vision and memory disorders)
RN 251951-49-2 CAPLUS
CN 1-Imidazolidinecarboxamide, 5-cyano-N-(1-phenylethyl)-, (5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



GI



I

AB The title compds. [I; X, Y, Z = C, O, S, N; A = R1C(O)C(O), R1C(O)C(S), R1SO2, R1(E)NC(O); R1, E = H, C1-9 alkyl, C2-9 alkenyl, aryl, heteroaryl, carbocyclyl, heterocyclyl; D = bond, (substituted) C1-10 alkylene, CH:CH; R2 = CO2H, carboxylic acid isostere; n = 1-3] are prepared for treating vision disorders, improving vision, treating memory impairment, or enhancing memory performance in an animal. I bind to immunophilin FKBP12 and preferably do not have immunosuppressive activity. Affinity for FKBP12 is measured as inhibition of prolyl peptidyl cis-trans isomerase (rotamase). Thus, GPI 1046 (10 mg/kg s.c.) protected retinal ganglion cells and optic nerve axons and myelin against degeneration following retinal ischemia in rats, and protected against retinal ganglion cell death after optic nerve transection. Me 1,3-oxazolidine-4-carboxylate was condensed with Me oxalyl chloride and the product reacted with 1,1-dimethylpropylmagnesium chloride and saponification to produce 3-(3,3-dimethyl-2-oxopentanoyl)-1,3-oxazolidine-4-carboxylic acid, I [X = Z = CH2, Y = O, A = CH3CH2CMe2C(O)C(O), D = bond, R2 = CO2H, n = 1].

L4 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:784085 CAPLUS
DOCUMENT NUMBER: 132:18814
TITLE: Aza-heterocyclic compounds used to treat neurological disorders and hair loss
INVENTOR(S): Hamilton, Gregory S.; Norman, Mark H.; Wu, Yong-Qian; Li, Jia-He; Steiner, Joseph P.
PATENT ASSIGNEE(S): Guilford Pharmaceuticals Inc., USA; Amgen, Inc.
SOURCE: PCT Int. Appl., 106 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9962888	A1	19991209	WO 1998-US25574	19981203

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW,

MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR,
TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

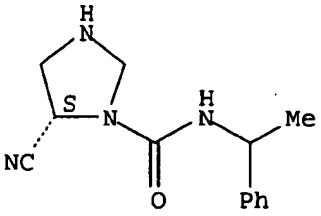
CA 2333964	AA	19991209	CA 1998-2333964	19981203
AU 9917082	A1	19991220	AU 1999-17082	19981203
ZA 9811062	A	19991220	ZA 1998-11062	19981203
BR 9815919	A	20010220	BR 1998-15919	19981203
EP 1102756	A1	20010530	EP 1998-961867	19981203
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002517383	T2	20020618	JP 2000-552100	19981203
NO 2000006117	A	20010201	NO 2000-6117	20001201
BG 105013	A	20010831	BG 2000-105013	20001201
US 2002045641	A1	20020418	US 2001-776904	20010206
PRIORITY APPLN. INFO.:			US 1998-87843P	P 19980603
			US 1998-204238	A3 19981203
			WO 1998-US25574	W 19981203

OTHER SOURCE(S): MARPAT 132:18814

IT **251951-49-2 251954-85-5**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(heterocyclic compds. for treatment of neurol. disorder or hair loss)

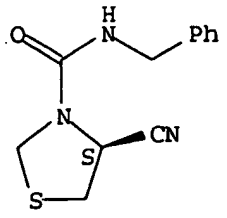
RN 251951-49-2 CAPLUS
CN 1-Imidazolidinecarboxamide, 5-cyano-N-(1-phenylethyl)-, (5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 251954-85-5 CAPLUS
CN 3-Thiazolidinecarboxamide, 4-cyano-N-(phenylmethyl)-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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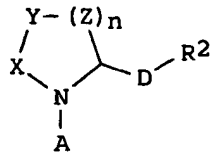


I

AB The invention is directed to carboxylic acids and isosteres of heterocyclic ring compds. I [X, Y, Z = C, O, S, N (provided that not all X, Y, Z are C); n = 1-3; A = R1C(O)C(O), R1C(O)C(S), R1SO2, (E)(R1)NC(O); R1, E = H, C1-9 (un)branched alkyl or alkenyl, aryl, etc.; D = C1-10 (un)branched alkyl, ethylene, butylene; R2 = carboxylic acid or carboxylic acid isostere] which have multiple heteroatoms within the heterocyclic ring, derivs. containing N-linked diketos, sulfonamides, ureas and carbamates attached thereto, their preparation and use for treating neurol. disorders including phys. damaged nerves and neurodegenerative diseases, as well as for treating alopecia and promoting hair growth.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:784076 CAPLUS
DOCUMENT NUMBER: 132:22867
TITLE: Preparation of urea and carbamate derivatives of N-heterocyclic carboxylic acids and carboxylic acid isosteres for the treatment of neurodegenerative diseases and alopecia
INVENTOR(S): Hamilton, Gregory S.; Norman, Mark H.; Wu, Yong-Qian; Steiner, Joseph P.
PATENT ASSIGNEE(S): Guilford Pharmaceuticals Inc., USA; Amgen, Inc.
SOURCE: PCT Int. Appl., 102 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9962879	A1	19991209	WO 1998-US25570	19981203
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
ZA 9811061	A	19991203	ZA 1998-11061	19981203
CA 2333960	AA	19991209	CA 1998-2333960	19981203
AU 9916204	A1	19991220	AU 1999-16204	19981203
EP 1082301	A1	20010314	EP 1998-960656	19981203
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9815881	A	20020723	BR 1998-15881	19981203
NO 2000006111	A	20010201	NO 2000-6111	20001201

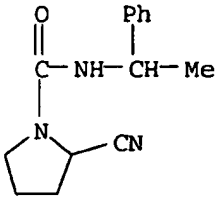
BG 105014	A	20010831	BG 2000-105014		20001201
US 2002007075	A1	20020117	US 2001-771686		20010130
US 2002042442	A1	20020411	US 2001-847432		20010503
PRIORITY APPLN. INFO.:			US 1998-87844P	P	19980603
			US 1998-204235	A3	19981203
			WO 1998-US25570	W	19981203

OTHER SOURCE(S): MARPAT 132:22867

IT **251574-10-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of urea and carbamate derivs. of N-heterocyclic carboxylic acids and carboxylic acid isosteres for the treatment of neurodegenerative diseases and alopecia)

RN 251574-10-4 CAPLUS

CN 1-Pyrrolidinecarboxamide, 2-cyano-N-(1-phenylethyl)- (9CI) (CA INDEX NAME)



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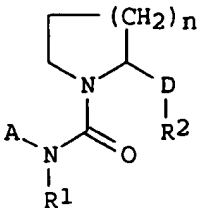

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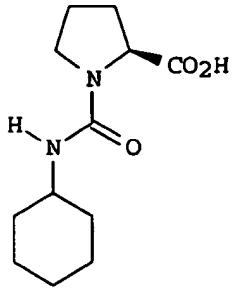

II

AB The title urea or carbamate derivs. I [n = 1-3; R1 and A = H, alkyl alkenyl, aryl, heteroaryl, cycloalkyl, heterocycloalkyl; D = bond, alkyl, alkenyl, alkynyl; R2 = CO2H, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, carboxylic acid isosteres, e.g. SO3H, cyano, sulfamoyl, carbamoyl, etc., (un)substituted by R3; R3 = H, HO, halo, haloalkyl, thiocarbonyl, alkoxy, alkenyloxy, aryloxy, cyano, nitro, imino, alkylthio, etc., and CO2R4; R4 = H, alkyl, alkenyl] and their pharmaceutically acceptable salts, esters, etc. were prepared and their pharmaceutical formulations described for use for treating neurol. disorders including phys. damaged nerves and neurodegenerative diseases and for treating alopecia and promoting hair growth. Thus, reaction of proline Me ester hydrochloride with cyclohexyl isocyanate and hydrolysis of the resulting ester gave the (cyclohexylcarbamoyl)pyrrolidinecarboxylic acid II.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	49.85	211.39

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-7.30	-7.30

STN INTERNATIONAL LOGOFF AT 13:14:27 ON 08 JUN 2005

10/721,272

~~10/408,276~~

Connecting via Winsock to STN

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PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS	1		Web Page URLs for STN Seminar Schedule - N. America
NEWS	2		"Ask CAS" for self-help around the clock
NEWS	3	FEB 25	CA/CAPLUS - Russian Agency for Patents and Trademarks (ROSPATENT) added to list of core patent offices covered
NEWS	4	FEB 28	PATDPAFULL - New display fields provide for legal status data from INPADOC
NEWS	5	FEB 28	BABS - Current-awareness alerts (SDIs) available
NEWS	6	FEB 28	MEDLINE/LMEDLINE reloaded
NEWS	7	MAR 02	GBFULL: New full-text patent database on STN
NEWS	8	MAR 03	REGISTRY/ZREGISTRY - Sequence annotations enhanced
NEWS	9	MAR 03	MEDLINE file segment of TOXCENTER reloaded
NEWS	10	MAR 22	KOREAPAT now updated monthly; patent information enhanced
NEWS	11	MAR 22	Original IDE display format returns to REGISTRY/ZREGISTRY
NEWS	12	MAR 22	PATDPASPC - New patent database available
NEWS	13	MAR 22	REGISTRY/ZREGISTRY enhanced with experimental property tags
NEWS	14	APR 04	EPFULL enhanced with additional patent information and new fields
NEWS	15	APR 04	EMBASE - Database reloaded and enhanced
NEWS	16	APR 18	New CAS Information Use Policies available online
NEWS	17	APR 25	Patent searching, including current-awareness alerts (SDIs), based on application date in CA/CAplus and USPATFULL/USPAT2 may be affected by a change in filing date for U.S. applications.
NEWS	18	APR 28	Improved searching of U.S. Patent Classifications for U.S. patent records in CA/CAplus
NEWS	19	MAY 23	GBFULL enhanced with patent drawing images
NEWS	20	MAY 23	REGISTRY has been enhanced with source information from CHEMCATS
NEWS	21	MAY 26	STN User Update to be held June 6 and June 7 at the SLA 2005 Annual Conference
NEWS	22	JUN 06	STN Patent Forums to be held in June 2005
NEWS	23	JUN 06	The Analysis Edition of STN Express with Discover! (Version 8.0 for Windows) now available

NEWS EXPRESS JANUARY 10 CURRENT WINDOWS VERSION IS V7.01a, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 10 JANUARY 2005

NEWS HOURS	STN Operating Hours Plus Help Desk Availability
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NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
NEWS WWW	CAS World Wide Web Site (general information)

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* * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 13:01:19 ON 08 JUN 2005

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 13:01:30 ON 08 JUN 2005
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 7 JUN 2005 HIGHEST RN 851848-50-5
DICTIONARY FILE UPDATES: 7 JUN 2005 HIGHEST RN 851848-50-5

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TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

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****
*
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
*
****

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

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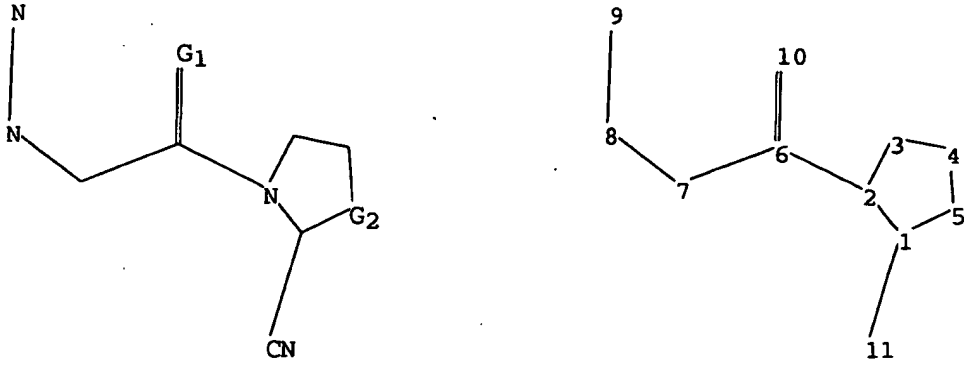
Uploading C:\Program Files\Stnexp\Queries\10408276.str



chain nodes :
6 7 8 9 10 11
ring nodes :
1 2 3 4 5
chain bonds :
1-11 2-6 6-7 6-10 7-8 8-9
ring bonds :
1-2 1-5 2-3 3-4 4-5
exact/norm bonds :
1-2 1-5 1-11 2-3 2-6 3-4 4-5 6-7 6-10 7-8 8-9
isolated ring systems :
containing 1 :

G1:O,S,N

G2:C,O,S,N

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:CLASS
10:CLASS 11:CLASS

L1 STRUCTURE UPLOADED

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SAMPLE SCREEN SEARCH COMPLETED - 2 TO ITERATE

100.0% PROCESSED 2 ITERATIONS 2 ANSWERS
SEARCH TIME: 00.00.01

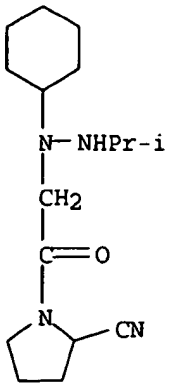
FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 2 TO 124
PROJECTED ANSWERS: 2 TO 124

L2 2 SEA SSS SAM L1

=> d scan

L2 2 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN

IN 2-Pyrrolidinecarbonitrile, 1-[[1-cyclohexyl-2-(1-methylethyl)hydrazino]acetyl]- (9CI)
MF C16 H28 N4 O

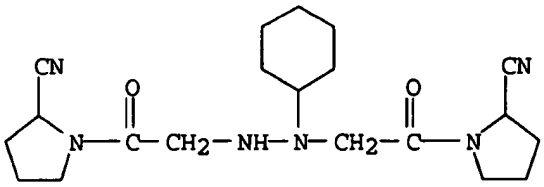


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L2 2 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN 2-Pyrrolidinecarbonitrile, 1,1'-[(cyclohexylhydrazo)bis(1-oxo-2,1-ethanediyl)]bis-, mono(trifluoroacetate) (9CI)
MF C20 H30 N6 O2 . C2 H F3 O2

CM 1



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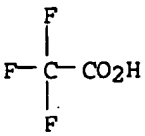

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ALL ANSWERS HAVE BEEN SCANNED

=> 0
0 IS NOT A RECOGNIZED COMMAND
The previous command name entered was not recognized by the system.
For a list of commands available to you in the current file, enter
"HELP COMMANDS" at an arrow prompt (=>).

=> s l1 ful
FULL SEARCH INITIATED 13:02:33 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 63 TO ITERATE

100.0% PROCESSED 63 ITERATIONS 49 ANSWERS
SEARCH TIME: 00.00.01

L3 49 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 161.76 161.97

FILE 'CAPLUS' ENTERED AT 13:02:39 ON 08 JUN 2005
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FILE COVERS 1907 - 8 Jun 2005 VOL 142 ISS 24
FILE LAST UPDATED: 7 Jun 2005 (20050607/ED)

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This file contains CAS Registry Numbers for easy and accurate
substance identification.

=> s l3
L4 2 L3

=> d l4 ibib hitstr abs 1-2

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:451670 CAPLUS
DOCUMENT NUMBER: 141:23523
TITLE: Preparation of thiazolidine-4-carbonitriles and analogs and their use as dipeptidyl-peptidase inhibitors
INVENTOR(S): Sankaranarayanan, Alangudi

PATENT ASSIGNEE(S): Torrent Pharmaceuticals Ltd., India
SOURCE: U.S. Pat. Appl. Publ., 90 pp., Cont.-in-part of U.S. Ser. No. 408,276.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2004106802	A1	20040603	US 2003-721272		20031126
US 2003225102	A1	20031204	US 2003-408276		20030408
PRIORITY APPLN. INFO.:			US 2002-370224P	P	20020408
			US 2003-408276	A2	20030408

OTHER SOURCE(S): CASREACT 141:23523; MARPAT 141:23523
IT **613265-23-9P 613265-25-1P 613265-27-3P**
613265-28-4P 613265-30-8P 613265-34-2P
613265-36-4P 613265-38-6P 613265-41-1P
613265-49-9P 613265-53-5P 613265-57-9P
613265-61-5P 613265-65-9P 613265-67-1P
613265-71-7P 613265-76-2P 613265-80-8P
613265-82-0P 613265-84-2P 613265-86-4P
613265-94-4P 613266-00-5P 613266-01-6P
613266-39-0P 697801-86-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of thiazolidinecarbonitriles and analogs for use as dipeptidyl-peptidase inhibitors)
RN 613265-23-9 CAPLUS
CN 2-Pyrrolidinecarbonitrile, 1-[(1-phenylhydrazino)acetyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

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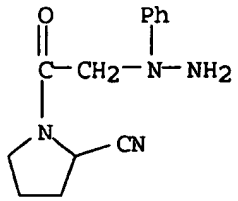
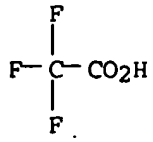
CRN 613265-22-8
CMF C13 H16 N4 O



CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 613265-25-1 CAPLUS
CN 2-Pyrrolidinecarbonitrile, 1-(hydrazinoacetyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

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CRN 613265-24-0
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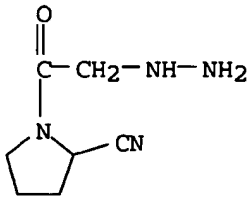

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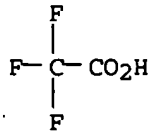
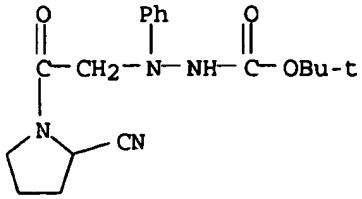


RN 613265-27-3 CAPLUS
CN Hydrazinecarboxylic acid, 2-[2-(2-cyano-1-pyrrolidinyl)-2-oxoethyl]-2-phenyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 613265-28-4 CAPLUS
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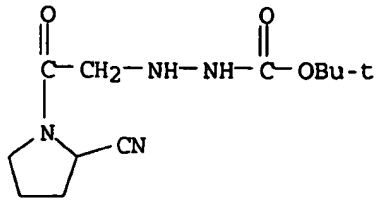
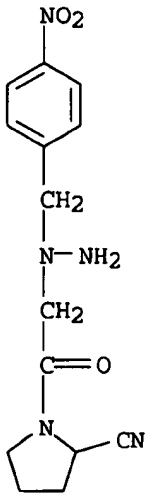


RN 613265-30-8 CAPLUS
CN 2-Pyrrolidinecarbonitrile, 1-[[1-[(4-nitrophenyl)methyl]hydrazino]acetyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 613265-29-5
CMF C14 H17 N5 O3



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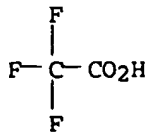
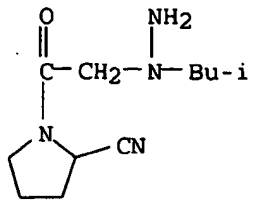
CRN 76-05-1
CMF C2 H F3 O2



RN 613265-34-2 CAPLUS
CN 2-Pyrrolidinecarbonitrile, 1-[[1-(2-methylpropyl)hydrazino]acetyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 613265-33-1
CMF C11 H20 N4 O



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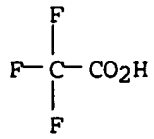
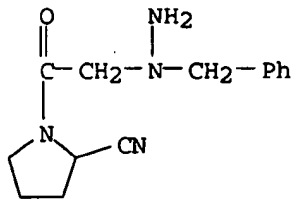


RN 613265-36-4 CAPLUS
CN 2-Pyrrolidinecarbonitrile, 1-[[1-(phenylmethyl)hydrazino]acetyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 613265-35-3
CMF C14 H18 N4 O



CM 2

CRN 76-05-1
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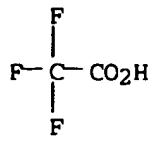
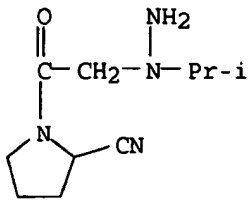


RN 613265-38-6 CAPLUS
CN 2-Pyrrolidinecarbonitrile, 1-[[1-(1-methylethyl)hydrazino]acetyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

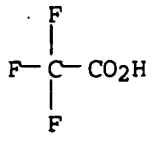
CM 1

CRN 613265-37-5
CMF C10 H18 N4 O



CM 2

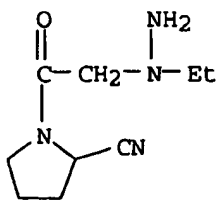
CRN 76-05-1
CMF C2 H F3 O2



RN 613265-41-1 CAPLUS
CN 2-Pyrrolidinecarbonitrile, 1-[(1-ethylhydrazino)acetyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

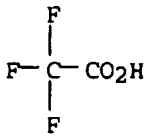
CM 1

CRN 613265-40-0
CMF C9 H16 N4 O



CM 2

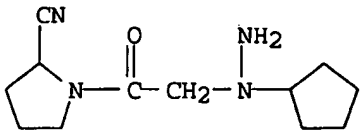
CRN 76-05-1
CMF C2 H F3 O2



RN 613265-49-9 CAPLUS
CN 2-Pyrrolidinecarbonitrile, 1-[(1-cyclopentylhydrazino)acetyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

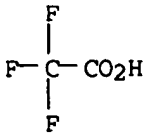
CM 1

CRN 613265-48-8
CMF C12 H20 N4 O



CM 2

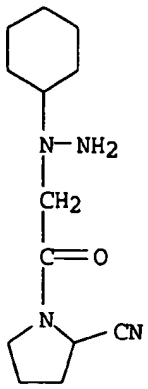
CRN 76-05-1
CMF C2 H F3 O2



RN 613265-53-5 CAPLUS
CN 2-Pyrrolidinecarbonitrile, 1-[(1-cyclohexylhydrazino)acetyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

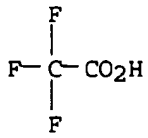
CM 1

CRN 613265-52-4
CMF C13 H22 N4 O



CM 2

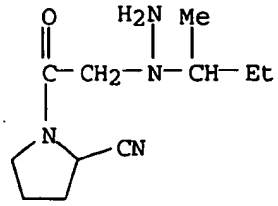
CRN 76-05-1
CMF C2 H F3 O2



RN 613265-57-9 CAPLUS
CN 2-Pyrrolidinecarbonitrile, 1-[[1-(1-methylpropyl)hydrazino]acetyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

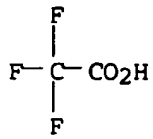
CM 1

CRN 613265-56-8
CMF C11 H20 N4 O



CM 2

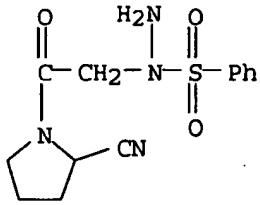
CRN 76-05-1
CMF C2 H F3 O2



RN 613265-61-5 CAPLUS
CN Benzenesulfonic acid, 1-[2-(2-cyano-1-pyrrolidinyl)-2-oxoethyl]hydrazide, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

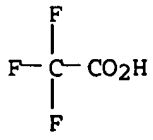
CM 1

CRN 613265-60-4
CMF C13 H16 N4 O3 S



CM 2

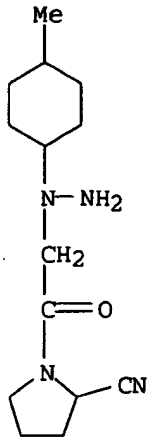
CRN 76-05-1
CMF C2 H F3 O2



RN 613265-65-9 CAPLUS
CN 2-Pyrrolidinecarbonitrile, 1-[[1-(4-methylcyclohexyl)hydrazino]acetyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

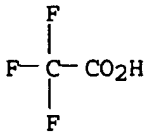
CM 1

CRN 613265-64-8
CMF C14 H24 N4 O



CM 2

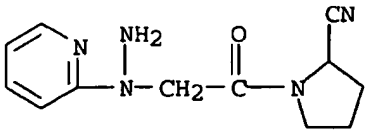
CRN 76-05-1
CMF C2 H F3 O2



RN 613265-67-1 CAPLUS
CN 2-Pyrrolidinecarbonitrile, 1-[[1-(2-pyridinyl)hydrazino]acetyl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

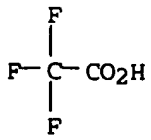
CM 1

CRN 613265-66-0
CMF C12 H15 N5 O



CM 2

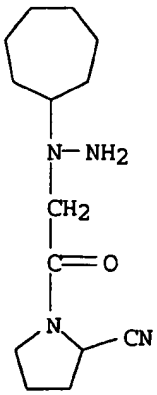
CRN 76-05-1
CMF C2 H F3 O2



RN 613265-71-7 CAPLUS
CN 2-Pyrrolidinecarbonitrile, 1-[(1-cycloheptylhydrazino)acetyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

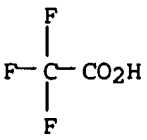
CM 1

CRN 613265-70-6
CMF C14 H24 N4 O



CM 2

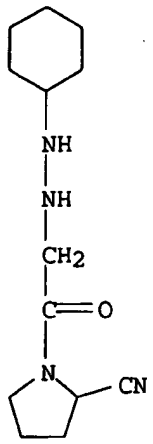
CRN 76-05-1
CMF C2 H F3 O2



RN 613265-76-2 CAPLUS
CN 2-Pyrrolidinecarbonitrile, 1-[(2-cyclohexylhydrazino)acetyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

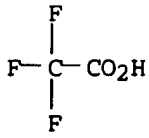
CM 1

CRN 613265-75-1
CMF C13 H22 N4 O

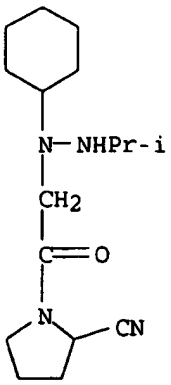


CM 2

CRN 76-05-1
CMF C2 H F3 O2



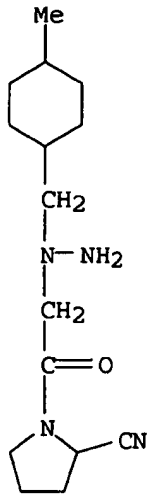
RN 613265-80-8 CAPLUS
CN 2-Pyrrolidinecarbonitrile, 1-[[1-cyclohexyl-2-(1-methylethyl)hydrazino]acetyl]- (9CI) (CA INDEX NAME)



RN 613265-82-0 CAPLUS
CN 2-Pyrrolidinecarbonitrile, 1-[[1-[(4-methylcyclohexyl)methyl]hydrazino]acetyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

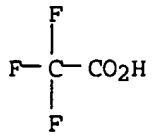
CM 1

CRN 613265-81-9
CMF C15 H26 N4 O



CM 2

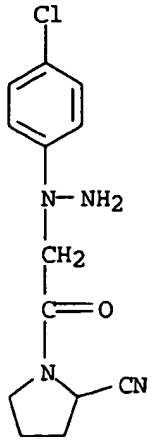
CRN 76-05-1
CMF C2 H F3 O2



RN 613265-84-2 CAPLUS
CN 2-Pyrrolidinecarbonitrile, 1-[[1-(4-chlorophenyl)hydrazino]acetyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

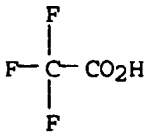
CM 1

CRN 613265-83-1
CMF C13 H15 Cl N4 O



CM 2

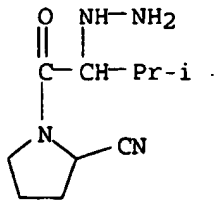
CRN 76-05-1
CMF C2 H F3 O2



RN 613265-86-4 CAPLUS
CN 2-Pyrrolidinecarbonitrile, 1-(2-hydrazino-3-methyl-1-oxobutyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

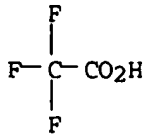
CM 1

CRN 613265-85-3
CMF C10 H18 N4 O



CM 2

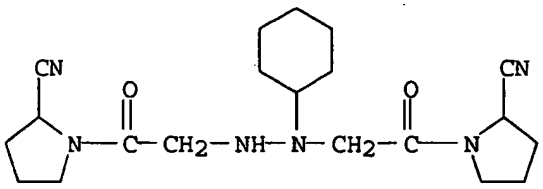
CRN 76-05-1
CMF C2 H F3 O2



RN 613265-94-4 CAPLUS
CN 2-Pyrrolidinecarbonitrile, 1,1'-[(cyclohexylhydrazo)bis(1-oxo-2,1-ethanediyl)]bis-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

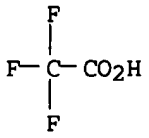
CM 1

CRN 613265-93-3
CMF C20 H30 N6 O2



CM 2

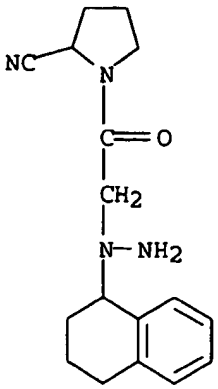
CRN 76-05-1
CMF C2 H F3 O2



RN 613266-00-5 CAPLUS
CN 2-Pyrrolidinecarbonitrile, 1-[[1-(1,2,3,4-tetrahydro-1-naphthalenyl)hydrazino]acetyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

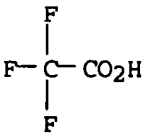
CM 1

CRN 613265-99-9
CMF C17 H22 N4 O

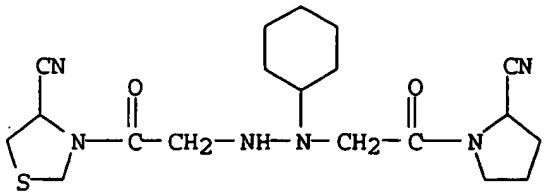


CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 613266-01-6 CAPLUS
CN 4-Thiazolidinecarbonitrile, 3-[[2-[2-(2-cyano-1-pyrrolidinyl)-2-oxoethyl]-2-cyclohexylhydrazino]acetyl]- (9CI) (CA INDEX NAME)



RN 613266-39-0 CAPLUS
CN 2-Pyrrolidinecarbonitrile, 1-[[1-[1-[(4-chlorophenyl)sulfonyl]-4-piperidinyl]hydrazino]acetyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 613266-38-9
CMF C18 H24 Cl N5 O3 S

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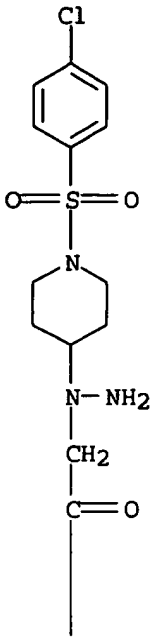
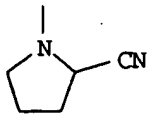
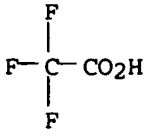


PAGE 2-A



CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 697801-86-8 CAPLUS
CN 1-Piperidineacetamide, N-(5-chloro-2-pyridinyl)-4-[1-[2-(2-cyano-1-pyrrolidinyl)-2-oxoethyl]hydrazino]-, tris(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 697801-85-7

CMF C19 H26 Cl N7 O2

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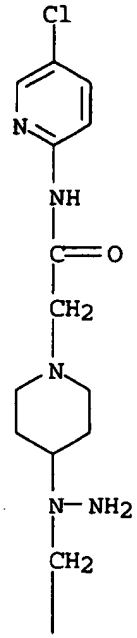

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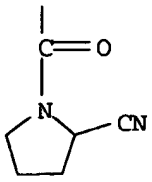
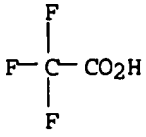


CM 2

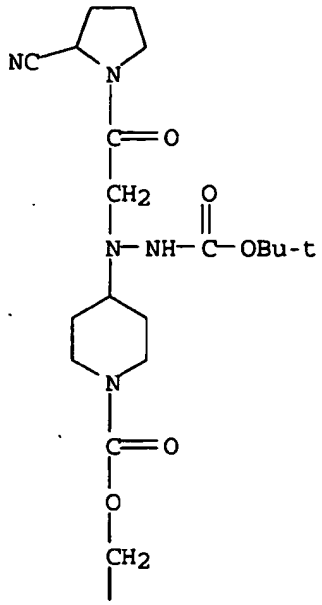
CRN 76-05-1
CMF C2 H F3 O2



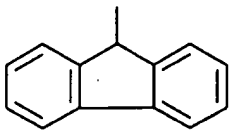
IT **697802-29-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of thiazolidinecarbonitriles and analogs for use as

dipeptidyl-peptidase inhibitors)
RN 697802-29-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[1-[2-(2-cyano-1-pyrrolidinyl)-2-oxoethyl]-2-[(1,1-dimethylethoxy)carbonyl]hydrazino]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

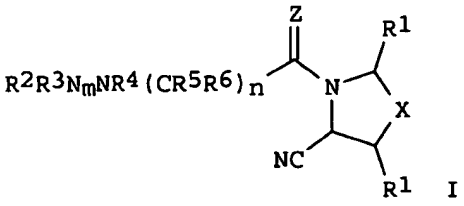
PAGE 1-A



PAGE 2-A



GI



AB The invention discloses novel compds. I [X = O, S, SO, SO2, NR7, or CHR1; m, n = null or 1; Z = O, S, or NR7; R1-R7 = H, (cyclo)alk(en)yl,

bicycloalkyl, (hetero)aryl, etc.; for m = null, R4 and R6 form a 6- or 7-membered ring optionally containing two or three heteroatoms O, S and NR7, R1 = H and N is attached to H] and their derivs., analogs, tautomeric forms, stereoisomers, polymorphs, and pharmaceutically-acceptable salts that are useful for normalizing elevated blood glucose levels in diabetes, treating disorders related to glucose intolerance, and for scavenging free radicals of mammals. Thus, 3-[1-oxo-2-(1-cyclohexylhydrazino)ethyl]-4-cyanothiazolidine trifluoroacetate, prepared by reaction of tert-Bu cyclohexylcarbazate with 3-(chloroacetyl)-4-cyanothiazolidine, showed IC50 = 2.01 ± 0.16 μM for inhibition of dipeptidyl-peptidase IV.

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:818408 CAPLUS
DOCUMENT NUMBER: 139:323514
TITLE: Preparation of thiazolidine-4-carbonitriles and analogs and their use as dipeptidyl-peptidase inhibitors
INVENTOR(S): Sankaranarayanan, Alangudi
PATENT ASSIGNEE(S): India
SOURCE: PCT Int. Appl., 223 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003084940	A1	20031016	WO 2003-IB1330	20030403
WO 2003084940	B1	20031224		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2481995	AA	20031016	CA 2003-2481995	20030403
EP 1492777	A1	20050105	EP 2003-710113	20030403
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003008816	A	20050322	BR 2003-8816	20030403

PRIORITY APPLN. INFO.:
US 2002-370224P P 20020408
WO 2003-IB1330 W 20030403

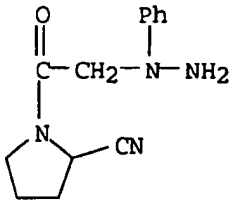
OTHER SOURCE(S): CASREACT 139:323514; MARPAT 139:323514
IT **613265-23-9P 613265-25-1P 613265-27-3P**
613265-28-4P 613265-30-8P 613265-34-2P
613265-36-4P 613265-38-6P 613265-41-1P
613265-49-9P 613265-53-5P 613265-57-9P
613265-61-5P 613265-65-9P 613265-67-1P
613265-71-7P 613265-76-2P 613265-80-8P
613265-82-0P 613265-84-2P 613265-86-4P
613265-94-4P 613266-00-5P 613266-01-6P
613266-39-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)
(preparation of thiazolidinecarbonitriles and analogs for use as dipeptidyl-peptidase inhibitors)

RN 613265-23-9 CAPLUS
CN 2-Pyrrolidinecarbonitrile, 1-[(1-phenylhydrazino)acetyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

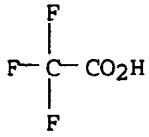
CM 1

CRN 613265-22-8
CMF C13 H16 N4 O



CM 2

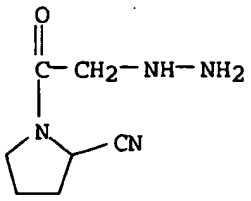
CRN 76-05-1
CMF C2 H F3 O2



RN 613265-25-1 CAPLUS
CN 2-Pyrrolidinecarbonitrile, 1-(hydrazinoacetyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

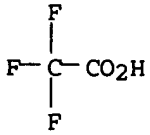
CRN 613265-24-0
CMF C7 H12 N4 O



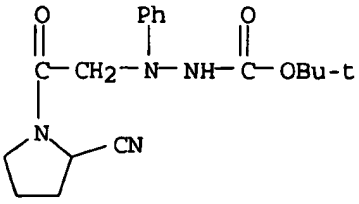
CM 2

CRN 76-05-1

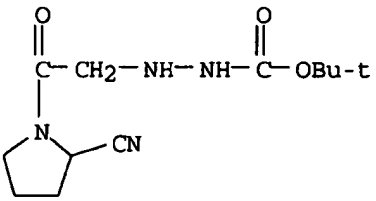
CMF C2 H F3 O2



RN 613265-27-3 CAPLUS
CN Hydrazinecarboxylic acid, 2-[2-(2-cyano-1-pyrrolidinyl)-2-oxoethyl]-2-phenyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



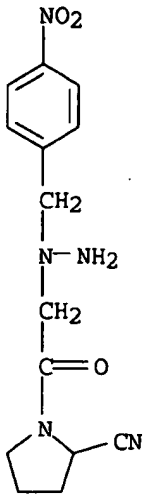
RN 613265-28-4 CAPLUS
CN Hydrazinecarboxylic acid, 2-[2-(2-cyano-1-pyrrolidinyl)-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 613265-30-8 CAPLUS
CN 2-Pyrrolidinecarbonitrile, 1-[[1-[(4-nitrophenyl)methyl]hydrazino]acetyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

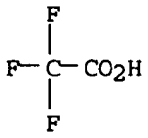
CM 1

CRN 613265-29-5
CMF C14 H17 N5 O3



CM 2

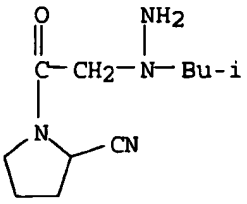
CRN 76-05-1
CMF C2 H F3 O2



RN 613265-34-2 CAPLUS
CN 2-Pyrrolidinecarbonitrile, 1-[[1-(2-methylpropyl)hydrazino]acetyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

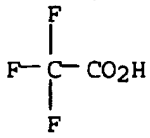
CM 1

CRN 613265-33-1
CMF C11 H20 N4 O



CM 2

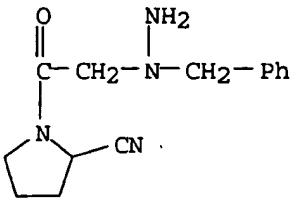
CRN 76-05-1
CMF C2 H F3 O2



RN 613265-36-4 CAPLUS
CN 2-Pyrrolidinecarbonitrile, 1-[[1-(phenylmethyl)hydrazino]acetyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

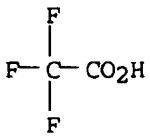
CM 1

CRN 613265-35-3
CMF C14 H18 N4 O



CM 2

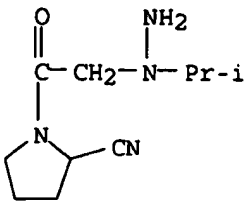
CRN 76-05-1
CMF C2 H F3 O2



RN 613265-38-6 CAPLUS
CN 2-Pyrrolidinecarbonitrile, 1-[[1-(1-methylethyl)hydrazino]acetyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

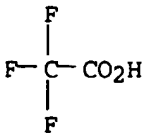
CM 1

CRN 613265-37-5
CMF C10 H18 N4 O



CM 2

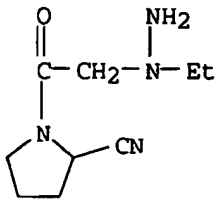
CRN 76-05-1
CMF C2 H F3 O2



RN 613265-41-1 CAPLUS
CN 2-Pyrrolidinecarbonitrile, 1-[(1-ethylhydrazino)acetyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

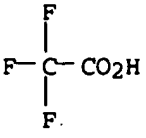
CM 1

CRN 613265-40-0
CMF C9 H16 N4 O



CM 2

CRN 76-05-1
CMF C2 H F3 O2

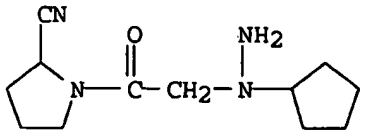


RN 613265-49-9 CAPLUS
CN 2-Pyrrolidinecarbonitrile, 1-[(1-cyclopentylhydrazino)acetyl]-,

mono(trifluoroacetate) (9CI) (CA INDEX NAME)

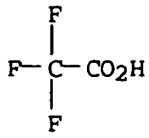
CM 1

CRN 613265-48-8
CMF C12 H20 N4 O



CM 2

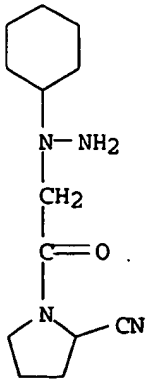
CRN 76-05-1
CMF C2 H F3 O2



RN 613265-53-5 CAPLUS
CN 2-Pyrrolidinecarbonitrile, 1-[(1-cyclohexylhydrazino)acetyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

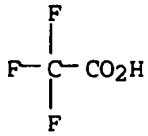
CRN 613265-52-4
CMF C13 H22 N4 O



CM 2

CRN 76-05-1

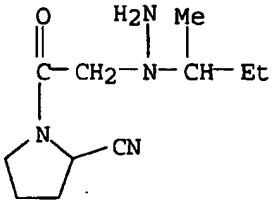
CMF C2 H F3 O2



RN 613265-57-9 CAPLUS
CN 2-Pyrrolidinecarbonitrile, 1-[[1-(1-methylpropyl)hydrazino]acetyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

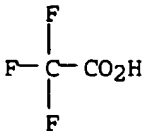
CM 1

CRN 613265-56-8
CMF C11 H20 N4 O



CM 2

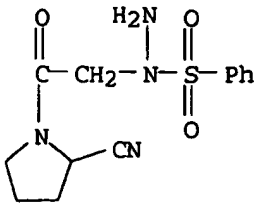
CRN 76-05-1
CMF C2 H F3 O2



RN 613265-61-5 CAPLUS
CN Benzenesulfonic acid, 1-[2-(2-cyano-1-pyrrolidinyl)-2-oxoethyl]hydrazide, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

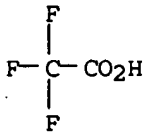
CM 1

CRN 613265-60-4
CMF C13 H16 N4 O3 S



CM 2

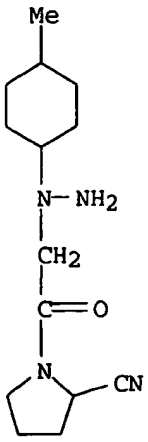
CRN 76-05-1
CMF C2 H F3 O2



RN 613265-65-9 CAPLUS
CN 2-Pyrrolidinecarbonitrile, 1-[[1-(4-methylcyclohexyl)hydrazino]acetyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

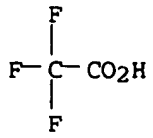
CM 1

CRN 613265-64-8
CMF C14 H24 N4 O



CM 2

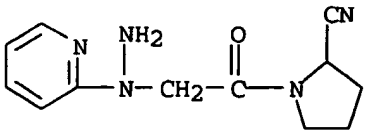
CRN 76-05-1
CMF C2 H F3 O2



RN 613265-67-1 CAPLUS
CN 2-Pyrrolidinecarbonitrile, 1-[[1-(2-pyridinyl)hydrazino]acetyl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

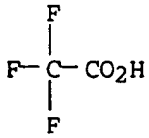
CM 1

CRN 613265-66-0
CMF C12 H15 N5 O



CM 2

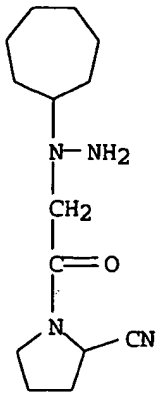
CRN 76-05-1
CMF C2 H F3 O2



RN 613265-71-7 CAPLUS
CN 2-Pyrrolidinecarbonitrile, 1-[(1-cycloheptylhydrazino)acetyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

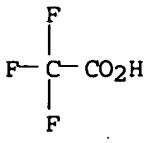
CM 1

CRN 613265-70-6
CMF C14 H24 N4 O



CM 2

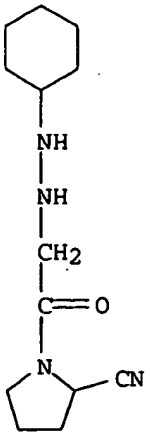
CRN 76-05-1
CMF C2 H F3 O2



RN 613265-76-2 CAPLUS
CN 2-Pyrrolidinecarbonitrile, 1-[(2-cyclohexylhydrazino)acetyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

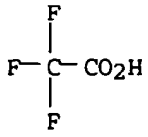
CM 1

CRN 613265-75-1
CMF C13 H22 N4 O

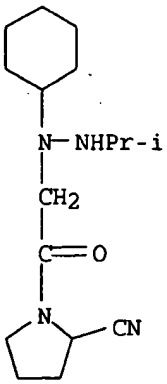


CM 2

CRN 76-05-1
CMF C2 H F3 O2



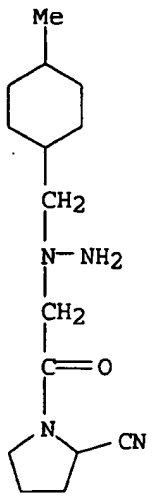
RN 613265-80-8 CAPLUS
CN 2-Pyrrolidinecarbonitrile, 1-[[1-cyclohexyl-2-(1-methylethyl)hydrazino]acetyl]- (9CI) (CA INDEX NAME)



RN 613265-82-0 CAPLUS
CN 2-Pyrrolidinecarbonitrile, 1-[[1-[(4-methylcyclohexyl)methyl]hydrazino]acetyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

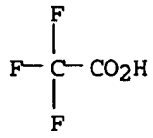
CM 1

CRN 613265-81-9
CMF C15 H26 N4 O



CM 2

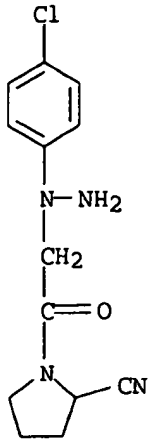
CRN 76-05-1
CMF C2 H F3 O2



RN 613265-84-2 CAPLUS
CN 2-Pyrrolidinecarbonitrile, 1-[[1-(4-chlorophenyl)hydrazino]acetyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

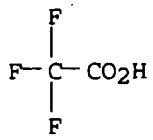
CM 1

CRN 613265-83-1
CMF C13 H15 Cl N4 O



CM 2

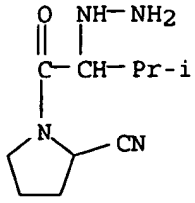
CRN 76-05-1
CMF C2 H F3 O2



RN 613265-86-4 CAPLUS
CN 2-Pyrrolidinecarbonitrile, 1-(2-hydrazino-3-methyl-1-oxobutyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

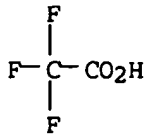
CM 1

CRN 613265-85-3
CMF C10 H18 N4 O



CM 2

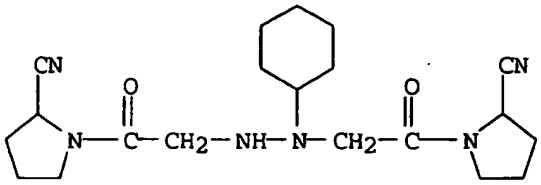
CRN 76-05-1
CMF C2 H F3 O2



RN 613265-94-4 CAPLUS
CN 2-Pyrrolidinecarbonitrile, 1,1'-[(cyclohexylhydrazo)bis(1-oxo-2,1-ethanediyl)]bis-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

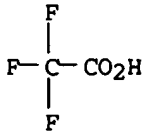
CM 1

CRN 613265-93-3
CMF C20 H30 N6 O2



CM 2

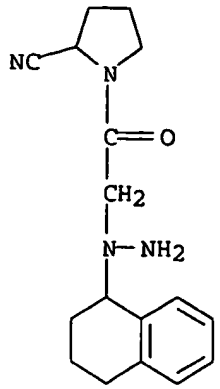
CRN 76-05-1
CMF C2 H F3 O2



RN 613266-00-5 CAPLUS
CN 2-Pyrrolidinecarbonitrile, 1-[[1-(1,2,3,4-tetrahydro-1-naphthalenyl)hydrazino]acetyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

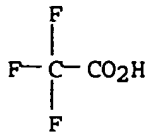
CM 1

CRN 613265-99-9
CMF C17 H22 N4 O

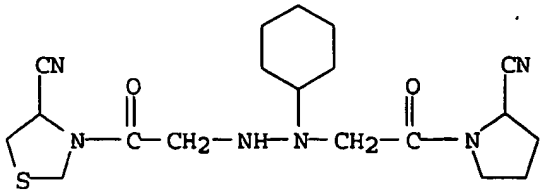


CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 613266-01-6 CAPLUS
CN 4-Thiazolidinecarbonitrile, 3-[[2-[2-(2-cyano-1-pyrrolidinyl)-2-oxoethyl]-2-cyclohexylhydrazino]acetyl]- (9CI) (CA INDEX NAME)



RN 613266-39-0 CAPLUS
CN 2-Pyrrolidinecarbonitrile, 1-[[1-[1-[(4-chlorophenyl)sulfonyl]-4-piperidinyl]hydrazino]acetyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 613266-38-9
CMF C18 H24 Cl N5 O3 S

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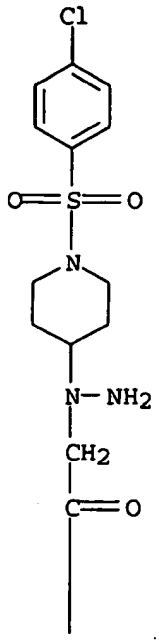
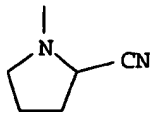
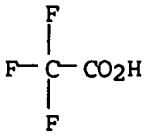


PAGE 2-A

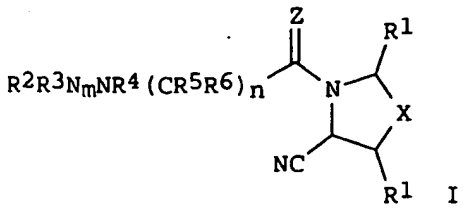


CM 2

CRN 76-05-1
CMF C2 H F3 O2



GI



I

AB The invention discloses novel compds. I [X = O, S, SO, SO2, NR7, or CHR1; m, n = null or 1; Z = O, S, or NR7; R1-R7 = H, (cyclo)alk(en)yl, bicycloalkyl, (hetero)aryl, etc.; for m = null, R4 and R6 form a 6- or 7-membered ring optionally containing two or three heteroatoms O, S and NR7, R1 = H and N is attached to H] and their derivs., analogs, tautomeric forms, stereoisomers, polymorphs, and pharmaceutically-acceptable salts that are useful for normalizing elevated blood glucose levels in diabetes, treating disorders related to glucose intolerance, and for scavenging free radicals of mammals. Thus, 3-[1-oxo-2-(1-cyclohexylhydrazino)ethyl]-4-cyanothiazolidine trifluoroacetate, prepared by reaction of tert-Bu cyclohexylcarbazate with 3-(chloroacetyl)-4-cyanothiazolidine, showed IC50 = 2.01 ± 0.16 μM for inhibition of dipeptidyl-peptidase IV.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	10.33	172.30

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-1.46	-1.46

STN INTERNATIONAL LOGOFF AT 13:03:06 ON 08 JUN 2005